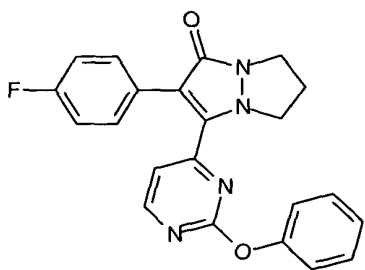
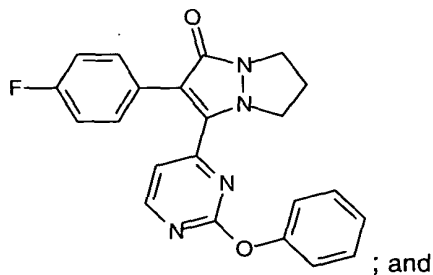


WHAT IS CLAIMED IS:

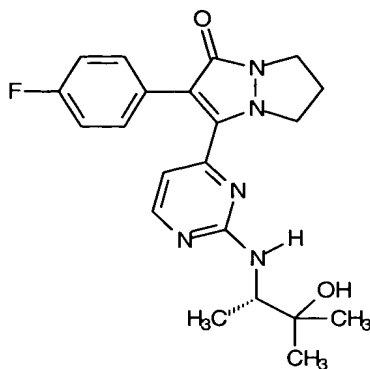
1. The compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



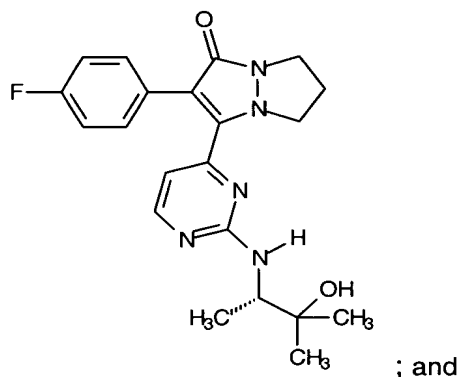
2. A pharmaceutical composition comprising:
 - a) an effective amount of the compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



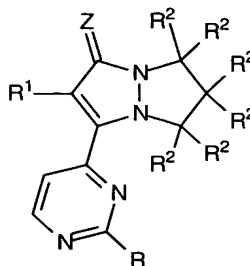
- b) one or more pharmaceutically acceptable excipients.
3. The compound 2-(4-fluorophenyl)-3-[2-(2-hydroxy-1,2-dimethylpropylamino)pyrimidin-4-yl]-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



4. A pharmaceutical composition comprising:
- an effective amount of the compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



- one or more pharmaceutically acceptable excipients.
5. A method for controlling osteoarthritis, rheumatoid arthritis and diabetes in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:
- an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



wherein R is:

- a) $-\text{O}[\text{CH}_2]_k\text{R}^3$; or
- b) $-\text{NR}^{4a}\text{R}^{4b}$;

R^3 is substituted or unsubstituted C_1 - C_4 alkyl, substituted or unsubstituted heterocyclic, substituted or unsubstituted hydrocarbyl, substituted or unsubstituted heterocyclyl, substituted or unsubstituted aryl or alkylenearyl, substituted or unsubstituted heteroaryl or alkyleneheteroaryl; the index k is from 0 to 5; R^{4a} and R^{4b} are each independently:

- a) hydrogen; or
- b) $-\text{C}(\text{R}^{5a}\text{R}^{5b})_m\text{R}^6$;

each R^{5a} and R^{5b} are independently hydrogen, or C_1 - C_4 linear, branched, or cyclic alkyl, and mixtures thereof; R^6 is hydrogen, $-\text{OR}^7$, $-\text{N}(\text{R}^7)_2$, $-\text{CO}_2\text{R}^7$, $-\text{CON}(\text{R}^7)_2$; substituted or unsubstituted C_1 - C_4 alkyl, substituted or unsubstituted aryl, or substituted or unsubstituted heteroaryl; R^7 is hydrogen, a water-soluble cation, C_1 - C_4 alkyl, or substituted or unsubstituted aryl; the index m is from 0 to 5;

R^1 is:

- a) substituted or unsubstituted aryl; or
- b) substituted or unsubstituted heteroaryl;

each R^2 unit is independently selected from the group consisting of:

- a) hydrogen;
- b) $-(\text{CH}_2)_i\text{O}(\text{CH}_2)_n\text{R}^8$;
- c) $-(\text{CH}_2)_i\text{NR}^{9a}\text{R}^{9b}$;
- d) $-(\text{CH}_2)_i\text{CO}_2\text{R}^{10}$;
- e) $-(\text{CH}_2)_i\text{OCO}_2\text{R}^{10}$;
- f) $-(\text{CH}_2)_i\text{CON}(\text{R}^{10})_2$;
- g) $-(\text{CH}_2)_i\text{OCON}(\text{R}^{10})_2$;
- h) two R^2 units can be taken together to form a carbonyl unit;
- i) and mixtures thereof;

R^8 , R^{9a} , R^{9b} , and R^{10} are each independently hydrogen, C_1 - C_4 alkyl, and mixtures thereof; R^{9a} and R^{9b} can be taken together to form a carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; two R^{10} units can be taken together to form a

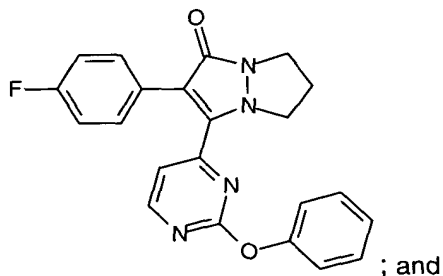
carbocyclic or heterocyclic ring comprising from 3 to 7 atoms; j is an index from 0 to 5, n is an index from 0 to 5;

Z is O, S, NR¹¹, or NOR¹¹; R¹¹ is hydrogen or C₁-C₄ alkyl; and

- b) one or more pharmaceutically acceptable excipients.

6. A method for controlling the osteoarthritis, rheumatoid arthritis and diabetes in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:

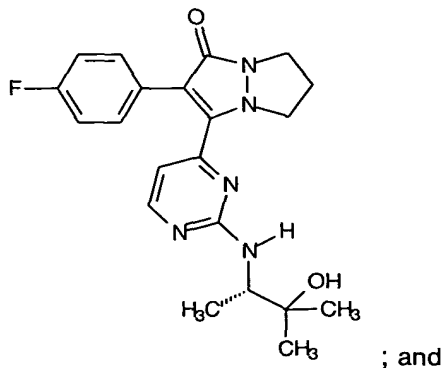
- a) an effective amount of the compound 2-(4-fluorophenyl)-3-(2-phenoxy-pyrimidin-4-yl)-6,7-dihydro-5H-pyrazolo-[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:



- b) one or more pharmaceutically acceptable excipients.

7. A method for controlling the osteoarthritis, rheumatoid arthritis and diabetes in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:

- a) an effective amount of the compound 2-(4-fluorophenyl)-3-[2-(2-hydroxy-1,2-dimethylpropylamino)pyrimidin-4-yl]-6,7-dihydro-5H-pyrazolo[1,2-a]pyrazol-1-one, including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound having the formula:

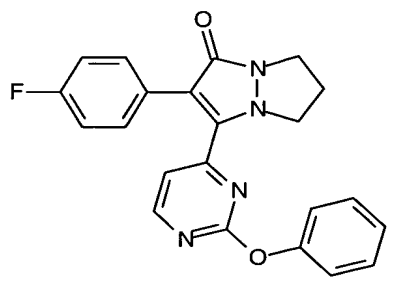


b) one or more pharmaceutically acceptable excipients.

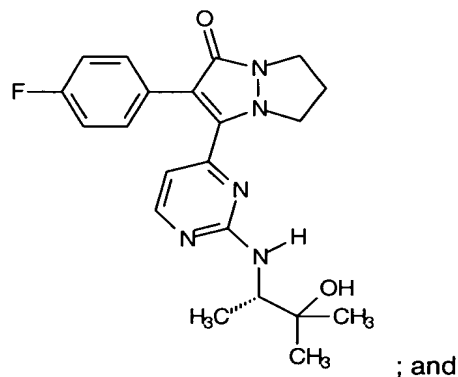
8. A method for controlling the level of one or more inflammation inducing cytokines selected from the group consisting of, interleukin-1 (IL-1), Tumor Necrosis Factor- α (TNF- α), interleukin-6 (IL-6), and interleukin-8 (IL-8), thereby controlling, mediating, or abating disease states affected by the level of extracellular inflammatory cytokines in humans, said method comprising the step of administering to said humans a pharmaceutical composition comprising:

a) an effective amount of one or more bicyclic pyrazolones including all enantiomeric and diastereomeric forms and pharmaceutically acceptable salts thereof, said compound selected from bicyclic pyrazolones having the formula:

i)



ii)



iii) mixtures thereof; and

b) one or more pharmaceutically acceptable excipients.